

1. (Cancelled)

2. (Currently Amended) A substituted amine according to claim 148

where  $R_1$  is:

$[-(\text{CH}_2)_{0-1}-(R_{1-\text{aryl}})]-(\text{CH}_2)_{0-1}\text{-phenyl}$ , wherein the phenyl group is optionally substituted with 1 or 2 groups that are F, Cl, Br,  $\text{C}_1\text{-C}_4$  alkoxy,  $\text{CF}_3$ ,  $\text{C}_1\text{-C}_6$  alkyl optionally substituted with one or two substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -OH, -C $\equiv$ N, - $\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and - $\text{NR}_{1-\text{a}}\text{R}_{1-\text{b}}$  where  $R_{1-\text{a}}$  and  $R_{1-\text{b}}$  -H or  $\text{C}_1\text{-C}_4$  alkyl,

where  $R_N$  is:

$\text{R}_{N-1}\text{-X}_N$  where  $X_N$  is selected from the group consisting of:

-CO-, and

-SO<sub>2</sub>-,

where  $R_{N-1}$  is  $\text{-R}_N\text{-aryl}$ ;

where  $R_A$  is:

- $\text{C}_1\text{-C}_8$  alkyl,

- $(\text{CH}_2)_{0-3}\text{-(C}_3\text{-C}_7\text{)}$  cycloalkyl,

- $(\text{CR}_A\text{-X}\text{R}_A\text{-Y})_{0-4}\text{-R}_A\text{-aryl}$ ,

-cyclopentyl or -cyclohexyl ring fused to  $\text{R}_A\text{-aryl}$ ,

or

-C=OR<sub>7</sub>, where  $R_7$  is

$C_1 - C_6$  alkyl,  
 phenyl,  
~~thioalkoxyalkyl,~~  
~~(aryl)alkyl,~~ phenylalkyl,  
 cycloalkyl,  
 cycloalkylalkyl,  
 hydroxyalkyl,  
 alkoxyalkyl,  
~~aryloxyalkyl,~~ phenyloxyalkyl  
 haloalkyl,  
 carboxyalkyl,

where X is -N or -O, with the proviso that when X is O,  $R_B$  is absent; and when X is N,

$R_B$  is:

~~$C_1 - C_8$  alkyl,~~  $C_1 - C_6$  alkyl.  
 ~~$(CH_2)_{0-3} - (C_3 - C_7)$  cycloalkyl~~  
 ~~$(CR_A - xR_{A-y})_{0-4} - R_{A-aryl}$~~   
~~cyclopentyl or cyclohexyl ring fused to  $R_{A-aryl}$~~

3. (Currently Amended) A substituted amine according to claim 2

where  $R_1$  is:

~~$(CH_2) - (R_{1-aryl})$~~  benzyl, wherein the phenyl portion is  
optionally substituted with 1 or 2 groups that are F, Cl,  $C_1 - C_4$   
alkoxy,  $CF_3$ ,  $C_1 - C_4$  alkyl optionally substituted with one

substituent selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl,  
-F, -Cl, -Br, -OH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-</sub>  
a and R<sub>1-b</sub> -H or C<sub>1</sub>-C<sub>4</sub> alkyl,

where R<sub>2</sub> is -H;

where R<sub>3</sub> is -H;

where R<sub>N</sub> is:

R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is:

-CO-,

where R<sub>N-1</sub> is ~~R<sub>N-aryl</sub>~~ phenyl, substituted with one, two  
or three of the following substituents which can be  
the same or different and are C<sub>1</sub>-C<sub>4</sub> alkyl, optionally  
substituted with one or two substituents selected from  
the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I,  
-OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub>, -OH, -  
NO<sub>2</sub>, -F, -Cl, -Br, or -I, -CO-OH, -C≡N, -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-</sub>  
2R<sub>N-3</sub>, -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub>, -(CH<sub>2</sub>)<sub>0-4</sub>-SO-(C<sub>1</sub>-C<sub>6</sub> alkyl), -  
(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>7</sub>  
cycloalkyl), -(CH<sub>2</sub>)<sub>0-4</sub>-O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally  
substituted with one, two, three, four, or five -F),  
C<sub>3</sub>-C<sub>7</sub> cycloalkyl, or -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, where  
R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected

from the group consisting of H, and -C<sub>1</sub>-C<sub>6</sub> alkyl  
optionally substituted with one substituent  
selected from -OH, and -NH<sub>2</sub>, -C<sub>1</sub>-C<sub>6</sub> alkyl

optionally substituted with one to three -F, -Cl,  
-Br, or -I, -C<sub>3</sub>-C<sub>7</sub> cycloalkyl, -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub>  
cycloalkyl), and -(C<sub>1</sub>-C<sub>4</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl);

where R<sub>A</sub> is:

-C<sub>1</sub>-C<sub>8</sub> alkyl,  
-(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,  
-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-aryl</sub>,  
-cyclopentyl or -cyclohexyl ring fused to R<sub>A-aryl</sub>,  
-cyclopentyl or -cyclohexyl ring fused to R<sub>A-aryl</sub>,  
-C=OR<sub>7</sub>, where R<sub>7</sub> is

C<sub>1</sub> - C<sub>6</sub> alkyl,  
~~(aryl)alkyl, phenylalkyl,~~  
cycloalkyl,  
cycloalkylalkyl,  
hydroxyalkyl,  
alkoxyalkyl, or  
haloalkyl,

where X is -N or -O, with the proviso that when X is  
O, R<sub>B</sub> is absent;

and when X is N, and

R<sub>B</sub> is:

~~-C<sub>1</sub>-C<sub>8</sub>-alkyl,~~ H or -C<sub>1</sub>-C<sub>6</sub> alkyl.

~~-(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,~~

~~-(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub>,~~

~~-cyclopentyl or -cyclohexyl ring fused to R<sub>B-aryl</sub>.~~

4. (Currently Amended) A substituted amine according to claim 3, where  $R_A$  is:  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ , -cyclopentyl or -cyclohexyl ring fused to  $R_{A-aryl}$ , or  $-C=OR_7$ , where

$R_{A-aryl}$  is phenyl, 1-naphthyl, or 2-naphthyl, substituted with one, two or three of the following substituents which can be the same or different and are  $C_1-C_4$  alkyl, optionally substituted with one or two substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$ , -OH,  $-NO_2$ , -F, -Cl, -Br, or -I,  $-CO-OH$ ,  $-C\equiv N$ ,  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ ,  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ ,  $-(CH_2)_{0-4}-SO-(C_1-C_6 \text{ alkyl})$ ,  $-(CH_2)_{0-4}-SO_2-(C_1-C_6 \text{ alkyl})$ ,  $-(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})$ ,  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl})$  optionally substituted with one, two, three, four, or five -F),  $C_3-C_7$  cycloalkyl, or  $-(CH_2)_{0-4}-C_3-C_7 \text{ cycloalkyl}$ , where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of H, and  $-C_1-C_6 \text{ alkyl}$  optionally substituted with one substituent selected from -OH, and  $-NH_2$ ,  $-C_1-C_6 \text{ alkyl}$  optionally substituted with one to three -F, -Cl, -Br, or -I,  $-C_3-C_7 \text{ cycloalkyl}$ ,  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ , and  $-(C_1-C_4 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ ;

R<sub>7</sub> is C<sub>1</sub> - C<sub>6</sub> alkyl, cycloalkyl, cycloalkylalkyl,  
alkoxyalkyl, or haloalkyl,

R<sub>A-x</sub> and R<sub>A-y</sub> are -H, C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with  
one or two -OH, C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted  
with one, two, or three -F, or phenyl;

where R<sub>B</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl.

~~where R<sub>B</sub> is:~~

~~(CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub>, or~~

~~\_\_\_\_\_ cyclopentyl or cyclohexyl ring fused to R<sub>B-aryl</sub>.~~

5. (Currently Amended) A substituted amine according to  
claim 4, claim 148 where R<sub>1</sub> is benzyl substituted with 2  
halogens.

~~-(CH<sub>2</sub>)-(R<sub>1-aryl</sub>) where R<sub>1-aryl</sub> is phenyl.~~

6. (Currently Amended) A substituted amine according to  
claim 5 148 where R<sub>1</sub> is benzyl substituted with 2 fluorines.

~~-(CH<sub>2</sub>)-(R<sub>1-aryl</sub>) where R<sub>1-aryl</sub> is phenyl substituted with two  
-F.~~

7. (Currently Amended) A substituted amine according to  
claim 6 where ~~the -F substitution~~ R<sub>1</sub> is 3,5-difluorobenzyl.

8. (Cancelled)

9. (Cancelled)

8 10. (Currently Amended) A substituted amine according to claim 5 148 where  $R_N$  is  ~~$R_{N-1}-X_N$  where  $X_N$  is CO, where  $R_{N-1}$  is  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl -C(O)-phenyl, wherein the phenyl is substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub>, where the substitution on phenyl is 1,3.~~

9 11. (Currently Amended) A substituted amine according to claim 10<sup>8</sup> where  $R_{N-2}$  and  $R_{N-3}$  are independently H or C<sub>1</sub>-C<sub>6</sub> alkyl. ~~the same and are C<sub>3</sub> alkyl.~~

10 12. (Currently Amended) A substituted amine according to claim 5 148 where  $R_N$  is -C(O)-phenyl, wherein the

~~$R_{N-1}-X_N$  where  $X_N$  is CO, where  $R_{N-1}$  is  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl is substituted with one C<sub>1</sub>-alkyl methyl group and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub>, where the substitution on the phenyl is 1,3,5.~~

11 13. (Currently Amended) A substituted amine according to claim 12<sup>10</sup> where  $R_{N-2}$  and  $R_{N-3}$  are independently H or C<sub>1</sub>-C<sub>6</sub> alkyl. ~~the same and are C<sub>3</sub> alkyl.~~

14-15. (Cancelled)

<sup>12</sup>  
16. (Currently Amended) A substituted amine according to either claim <sup>8</sup>~~10~~ or <sup>10</sup>~~12~~ 148 where R<sub>A</sub> is:

- (CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-aryl</sub> where R<sub>A-aryl</sub> is phenyl, which is optionally substituted with one or two substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub>; and wherein the phenyl is optionally fused to a cyclopentyl or cyclohexyl ring; ~~-cyclopentyl or cyclohexyl ring fused to a R<sub>A-aryl</sub>; and~~ R<sub>A-x</sub> and R<sub>A-y</sub>, if present, are both H.

<sup>13</sup>  
<sup>12</sup>  
17. (Currently Amended) A substituted amine according to claim ~~16~~ where R<sub>A</sub> is phenyl. ~~-(CR<sub>A-x</sub>R<sub>A-y</sub>)<sub>0-4</sub>-R<sub>A-aryl</sub> where R<sub>A-aryl</sub> is phenyl.~~

<sup>14</sup>  
18. (Currently Amended) A substituted amine according to ~~claim 17~~ <sup>12</sup>claim ~~16~~ where phenyl is mono-substituted in at the 3-position or disubstituted at the 3,5-positions.

19-20. (Cancelled)

<sup>15</sup>  
21. (Original) A substituted amine according to claim <sup>12</sup>~~16~~ where R<sub>A</sub> is: -cyclohexyl ring fused to a phenyl ring.

<sup>16</sup>  
22. (Currently Amended) A substituted amine according to <sup>13</sup>claim ~~148~~ claim ~~17~~, where R<sub>B</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl. ~~R<sub>B</sub> is:~~



~~(C<sub>R<sub>B</sub></sub>-R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub> where R<sub>B-aryl</sub> is phenyl,~~

~~cyclopentyl or cyclohexyl ring fused to a R<sub>B-aryl</sub>.~~

<sup>17</sup>  
~~23.~~ (Currently Amended) A substituted amine according to  
claim <sup>16</sup>~~22~~ where R<sub>B</sub> is H. is: ~~(C<sub>R<sub>B</sub></sub>-R<sub>B-y</sub>)<sub>0-4</sub>-R<sub>B-aryl</sub> where R<sub>B-aryl</sub> is  
phenyl,~~

<sup>18</sup>  
~~24.~~ (Currently Amended) A substituted amine according to  
claim <sup>16</sup>~~22~~ ~~claim 23~~ where R<sub>B</sub> is methyl. ~~phenyl is substituted in  
the 3 position or 3,5 positions.~~

25-26. (Cancelled)

~~27.~~ (Cancelled)

<sup>19</sup>  
~~28.~~ (Currently Amended) A substituted amine according to  
claim <sup>1</sup>~~148~~, where X is oxygen and R<sub>B</sub> is absent.

<sup>20</sup>  
~~29.~~ (Previously Presented) A substituted amine according  
to claim <sup>1</sup>~~148~~ chosen from the group consisting of:

N-[1-(3,5-Difluoro-benzyl)-2-hydroxy-3-(N'-methyl-N'-  
phenyl-hydrazino)-propyl]-5-methyl-N',N'-dipropyl-  
isophthalamide,

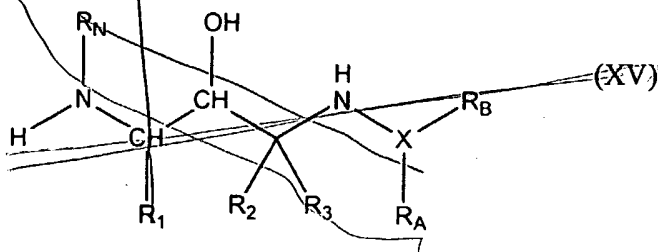
N-{1-(3,5-Difluoro-benzyl)-2-hydroxy-3-[N'-methyl-N'-(4-methyl-pentanoyl)-hydrazino]-propyl}-5-methyl-N',N'-dipropyl-isophthalamide, and

N-[1-(3,5-Difluoro-benzyl)-2-hydroxy-3-phenoxyamino-propyl]-5-methyl-N',N'-dipropyl-isophthalamide.

21  
~~30~~. (Previously Presented) A substituted amine according to claim ~~148~~ where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camrylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycolylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

31-143. (Cancelled)

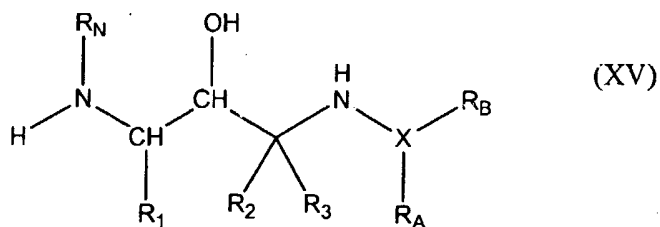
22  
 144. (Previously Presented) A composition comprising a compound of ~~formula XV~~



where ~~R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub>, R<sub>A</sub>, R<sub>B</sub>, and X~~ are as defined in claim 148; and an inert diluent or edible carrier.

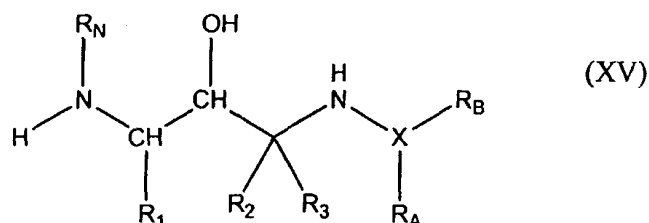
23 22  
 145. (Original) The composition of claim 144, where said carrier is an oil.

24  
 146. (Previously Presented) A composition comprising a compound of formula XV



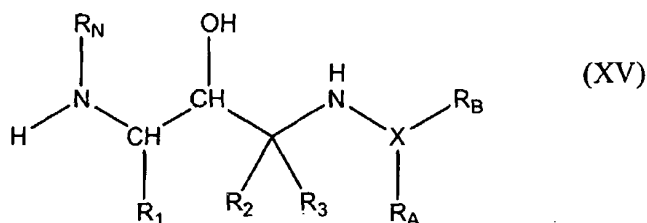
where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub>, R<sub>A</sub>, R<sub>B</sub>, and X are as defined in claim 148; and an binder, excipient, disintegrating agent, lubricant, or gildant.

25  
 147. (Previously Presented) A composition comprising a compound of formula XV



where  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_N$ ,  $\text{R}_A$ ,  $\text{R}_B$ , and  $\text{X}$  are as defined in claim 148, disposed in a cream, ointment, or patch.

~~148.~~ (Currently Amended) A substituted amine of formula (XV)



or a salt thereof, where  $\text{R}_1$  is  $-(\text{CH}_2)_{n_1}-(\text{R}_{1-\text{aryl}})$  where  $n_1$  is zero or one and where  $\text{R}_{1-\text{aryl}}$  is phenyl, optionally substituted with one, two, or three, ~~or four~~ of the following substituents ~~on the aryl ring:~~

(A)  $\text{C}_1\text{-C}_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $\text{C}_1\text{-C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, and  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$   $-\text{H}$  or  $\text{C}_1\text{-C}_6$  alkyl,

~~(B)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $\text{F}$ ,  $\text{Cl}$ ,  $\text{OH}$ ,  $\text{SH}$ ,  $\text{C}\equiv\text{N}$ ,~~

~~-CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are H or C<sub>1</sub>-C<sub>6</sub> alkyl,~~

~~(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of F, Cl, OH, SH, C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are H or C<sub>1</sub>-C<sub>6</sub> alkyl,~~

(D) -F, Cl, -Br or -I,

(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,

(G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

(I) -C≡N,

~~(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of F, Cl, OH, SH, C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are H or C<sub>1</sub>-C<sub>6</sub> alkyl,~~

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

~~(L) SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,~~

~~(M) CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or~~

~~(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),~~

where R<sub>2</sub> is:

~~[(I)]-H, or C<sub>1</sub>-C<sub>3</sub> alkyl;~~

~~(II) C<sub>1</sub>-C<sub>3</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, F, Cl, Br, I, OH, SH, C≡N, CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,~~

where R<sub>3</sub> is:

~~[(I)]-H, or C<sub>1</sub>-C<sub>3</sub> alkyl;~~

~~(II) C<sub>1</sub>-C<sub>3</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, F, Cl, Br, I, OH, SH, C≡N, CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,~~

where R<sub>N</sub> is R<sub>N-1</sub>-X<sub>N</sub> where X<sub>N</sub> is selected from the group consisting of:

(A) -CO-,

(B) -SO<sub>2</sub>-,

(C) -(CR'R'')<sub>1-6</sub> where R' and R'' are the same or different and are -H or C<sub>1</sub>-C<sub>4</sub> alkyl,

(E) a single bond;

where R<sub>N-1</sub> is R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl, 1-naphthyl, or 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro 5H benzo[a]cycloheptenyl, or dihydronaphthyl each of

which is optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

(2) -OH,

(3)  $-NO_2$ ,

(4) -F, -Cl, -Br, or -I,

(5)  $-CO-OH$ ,

(6)  $-C\equiv N$ ,

(7)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii)  $-NH_2$ ,

(c)  $-C_1-C_6$  alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d)  $-C_3-C_7$  cycloalkyl,

(e) - (C<sub>1</sub>-C<sub>2</sub> alkyl) - (C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(f) - (C<sub>1</sub>-C<sub>6</sub> alkyl) - O - (C<sub>1</sub>-C<sub>3</sub> alkyl),

~~(g) - C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,~~

~~\_\_\_\_\_ (h) - C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,~~

~~\_\_\_\_\_ (i) - C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,~~

~~\_\_\_\_\_ (j) - R<sub>1</sub> aryl where R<sub>1</sub> aryl is as defined above, and~~

~~\_\_\_\_\_ (k) - R<sub>1</sub> heteroaryl where R<sub>1</sub> heteroaryl is as defined above,~~

(8) - (CH<sub>2</sub>)<sub>0-4</sub> - CO - (C<sub>1</sub>-C<sub>12</sub> alkyl),

~~(9) - (CH<sub>2</sub>)<sub>0-4</sub> - CO - (C<sub>2</sub>-C<sub>12</sub> alkenyl) with one, two or three double bonds,~~

~~\_\_\_\_\_ (10) - (CH<sub>2</sub>)<sub>0-4</sub> - CO - (C<sub>2</sub>-C<sub>12</sub> alkynyl) with one, two or three triple bonds,~~

(11) - (CH<sub>2</sub>)<sub>0-4</sub> - CO - (C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

~~\_\_\_\_\_ (12) - (CH<sub>2</sub>)<sub>0-4</sub> - CO - R<sub>1</sub> aryl where R<sub>1</sub> aryl is as defined above,~~

~~\_\_\_\_\_ (13) - (CH<sub>2</sub>)<sub>0-4</sub> - CO - R<sub>1</sub> heteroaryl where R<sub>1</sub> heteroaryl is as defined above,~~

~~\_\_\_\_\_ (14) - (CH<sub>2</sub>)<sub>0-4</sub> - CO - R<sub>1</sub> heterocycle where R<sub>1</sub> heterocycle is as defined above,~~



(15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of  $C_1-C_6$  alkyl,

(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:

(a)  $C_1-C_6$  alkyl,

(b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined above,

~~(c)  $C_2-C_6$  alkenyl containing one or two double bonds,~~

~~(d)  $C_2-C_6$  alkynyl containing one or two triple bonds,~~

(e)  $C_3-C_7$  cycloalkyl, and

~~(f)  $(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as defined above,~~

~~(17)  $(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,~~

~~(18)  $(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})_7$~~

~~(19)  $(CH_2)_{0-4}-SO_2-(C_1-C_{12} \text{ alkyl})_7$~~

~~(20)  $(CH_2)_{0-4}-SO_2-(C_3-C_7 \text{ cycloalkyl})_7$~~

(21) - (CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> can be the same or different and is as defined above,

~~(22) - (CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub> can be the same or different and is as defined above,~~

~~(23) - (CH<sub>2</sub>)<sub>0-4</sub>-N-CS-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub> can be the same or different and is as defined above,~~

(24) - (CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-CO-R<sub>N-2</sub> where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as defined above,

(25) - (CH<sub>2</sub>)<sub>0-4</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> can be the same or different and are as defined above,

(26) - (CH<sub>2</sub>)<sub>0-4</sub>-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(27) - (CH<sub>2</sub>)<sub>0-4</sub>-O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

~~(28) - (CH<sub>2</sub>)<sub>0-4</sub>-O-P(O)-(OR<sub>N-aryl-1</sub>)<sub>2</sub> where R<sub>N-aryl-1</sub> is H or C<sub>1</sub>-C<sub>4</sub> alkyl,~~

(29) - (CH<sub>2</sub>)<sub>0-4</sub>-O-CO-N(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

~~(30) - (CH<sub>2</sub>)<sub>0-4</sub>-O-CS-N(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,~~

(31) - (CH<sub>2</sub>)<sub>0-4</sub>-O-(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,

~~(32) - (CH<sub>2</sub>)<sub>0-4</sub>-O-(R<sub>N-5</sub>)<sub>2</sub>-COOH where R<sub>N-5</sub> is as defined above,~~

~~(33) - (CH<sub>2</sub>)<sub>0-4</sub>-S-(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,~~

(34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five } -F),$

(35)  $C_3-C_7 \text{ cycloalkyl},$

~~(36)  $C_2-C_6 \text{ alkenyl with one or two double bonds optionally substituted with } C_1-C_3 \text{ alkyl, } -F, -Cl, -Br, -I, -OH, -SH, -C\equiv N, -CF_3, C_1-C_3 \text{ alkoxy, or } -NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as defined above,}$~~

~~(37)  $C_2-C_6 \text{ alkynyl with one or two triple bonds optionally substituted with } C_1-C_3 \text{ alkyl, } -F, -Cl, -Br, -I, -OH, -SH, -C\equiv N, -CF_3, C_1-C_3 \text{ alkoxy, or } -NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as defined above,}$~~

~~(38)  $(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2} \text{ where } R_{N-5} \text{ and } R_{N-2} \text{ can be the same or different and are as described above, or}$~~

(39)  $-(CH_2)_{0-4}-C_3-C_7 \text{ cycloalkyl},$

where  $R_A$  is:

(I)  $-C_1-C_{10} \text{ alkyl optionally substituted with one, two or three substituents selected from the group consisting of } C_1-C_3 \text{ alkyl, } -F, -Cl, -Br, -I, -OH, -SH, -C\equiv N, -CF_3, C_1-C_6 \text{ alkoxy, } -O\text{-phenyl, } -NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as defined above, } -OC=O NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as defined above, } -S(=O)_{0-2} R_{1-a} \text{ where } R_{1-a} \text{ is as defined above, } -NR_{1-a}C=O NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as defined above, } -C=O NR_{1-a}R_{1-b} \text{ where } R_{1-a} \text{ and } R_{1-b} \text{ are as}$

defined above, and  $-S(=O)_2 NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,

~~(II)  $(CH_2)_{0-3}$ -(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, F, Cl, Br, I, OH, SH, C=N, CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, O phenyl, CO OH, CO O (C<sub>1</sub>-C<sub>4</sub> alkyl), and  $NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,~~

(III)  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$  where  $R_{A-x}$  and  $R_{A-y}$  are

(A) -H,

(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,

(C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of: -F,

(D)  $-(CH_2)_{0-4}$ -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

(F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

(G) phenyl,

~~and where  $R_{A-x}$  and  $R_{A-y}$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group~~

~~consisting of O, S, SO<sub>2</sub>, and NR<sub>N-2</sub> and R<sub>A-aryl</sub> is the same as R<sub>N-aryl</sub>~~

(IV) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>A-aryl</sub>, where R<sub>A-aryl</sub> is as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

~~(V) CH(CH<sub>2</sub>OH)CH(OH)phenylNO<sub>2</sub>~~

(VI) -H,

(VII)

~~—C=OC(HR<sub>6</sub>)NHR<sub>7</sub>, where R<sub>6</sub> and R<sub>7</sub> are as defined~~

below

~~-C=OR<sub>7</sub>, where R<sub>7</sub> is as defined below, or~~

~~-C=OOR<sub>7</sub>, where R<sub>7</sub> is as defined below, or~~

~~—SOOR<sub>7</sub>, where R<sub>7</sub> is as defined below,~~

wherein R<sub>6</sub> is:

~~—hydrogen~~

~~—C<sub>1</sub>-C<sub>3</sub> alkyl,~~

~~—phenyl,~~

~~—thioalkoxyalkyl,~~

~~—alkyl substituted aryl,~~

~~—cycloalkyl,~~

~~cycloalkylalkyl,~~  
~~hydroxyalkyl,~~  
~~alkoxyalkyl,~~  
~~aryloxyalkyl,~~  
~~haloalkyl,~~  
~~carboxyalkyl,~~  
~~alkoxycarbonylalkyl~~  
~~aminoalkyl,~~  
~~(N-protected)aminoalkyl,~~  
~~alkylaminoalkyl,~~  
~~((N-protected)(alkyl)amino)alkyl~~  
~~dialkylaminoalkyl,~~  
~~guanidinoalkyl,~~  
~~lower alkenyl,~~  
~~heterocyclic,~~  
~~(heterocyclic)alkyl,~~  
~~arylthioalkyl,~~  
~~arylsulfonylalkyl,~~  
~~(heterocyclic)thioalkyl,~~  
~~(heterocyclic)sulfonylalkyl,~~  
~~(heterocyclic)oxyalkyl,~~  
~~arylalkoxyalkyl,~~  
~~arylthioalkoxyalkyl,~~  
~~arylalkylsulfonylalkyl,~~  
~~(heterocyclic)alkoxyalkyl,~~

~~(heterocyclic)thioalkoxyalkyl,~~  
~~(heterocyclic)alkylsulfonylalkyl,~~  
~~cycloalkoxyalkyl,~~  
~~cycloalkylthioalkyl,~~  
~~cycloalkylsulfonylalkyl,~~  
~~cycloalkylalkoxyalkyl,~~  
~~cycloalkylthioalkoxyalkyl,~~  
~~cycloalkylalkylsulfonylalkyl,~~  
~~aminocarbonyl,~~  
~~alkylaminocarbonyl,~~  
~~dialkylaminocarbonyl,~~  
~~aroylalkyl,~~  
~~(heterocyclic)carbonylalkyl,~~  
~~polyhydroxyalkyl,~~  
~~aminocarbonylalkyl,~~  
~~alkylaminocarbonylalkyl,~~  
~~dialkylaminocarbonylalkyl,~~  
~~aryloxyalkyl, or~~  
~~alkylsulfonylalkyl,~~  
~~wherein heterocyclic is pyridyl,~~  
~~thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, furanyl, thienyl,~~  
~~tetrahydrofuranyl, tetrahydrothienyl and tetrahydro[2H]pyranyl~~  
~~and wherein the heterocycle is unsubstituted or substituted with~~  
~~one to three substituents independently selected from hydroxy,~~  
~~halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy,~~

~~haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH,~~  
~~SO<sub>3</sub>H, lower alkenyl or lower alkyl,~~

wherein R<sub>7</sub> is:

C<sub>1</sub> - C<sub>6</sub> alkyl,

phenyl,

~~thioalkoxyalkyl,~~

(aryl)alkyl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

alkoxyalkyl,

aryloxyalkyl,

haloalkyl,

carboxyalkyl,

alkoxycarbonylalkyl,

aminoalkyl,

~~(N-protected) aminoalkyl,~~

alkylaminoalkyl,

~~-(N-protected) (alkyl) amino) alkyl,~~

dialkylaminoalkyl,

~~guanidinoalkyl,~~

lower alkenyl,

~~heterocyclic,~~

~~(heterocyclic) alkyl),~~

~~arylthioalkyl,~~



~~arylsulfonylalkyl,~~  
~~(heterocyclic)thioalkyl,~~  
~~(heterocyclic)sulfonylalkyl~~  
~~(heterocyclic)oxyalkyl~~  
~~arylalkoxyalkyl,~~  
~~arylthioalkoxyalkyl,~~  
~~arylalkylsulfonylalkyl~~  
~~(heterocyclic)alkoxyalkyl,~~  
~~(heterocyclic)thioalkoxyalkyl~~  
~~(heterocyclic)alkylsulfonylalkyl~~  
~~cycloalkyloxyalkyl,~~  
~~cycloalkylthioalkyl,~~  
~~cycloalkylsulfonylalkyl,~~  
~~cycloalkylalkoxyalkyl,~~  
~~cycloalkylthioalkoxyalkyl,~~  
~~cycloalkylalkylsulfonylalkyl,~~  
~~aminocarbonyl,~~  
~~alkylaminocarbonyl,~~  
~~dialkylaminocarbonyl,~~  
~~aroylalkyl,~~  
~~(heterocyclic)carbonylalkyl,~~  
~~polyhydroxyalkyl,~~  
~~aminocarbonylalkyl,~~  
~~dialkylaminocarbonylalkyl,~~  
~~aryloxyalkyl, or~~

~~alkylsulfonylalkyl,~~  
~~wherein heterocyclic is pyridyl, thiazolyl,~~  
~~isothiazolyl, oxazolyl, isoxazolyl, furanyl, thienyl,~~  
~~tetrahydrofuranyl, tetrahydrothienyl, and tetrahydro[2H]pyranyl~~  
~~and wherein the heterocycle is unsubstituted or substituted with~~  
~~one to three substituents independently selected from hydroxy,~~  
~~halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy,~~  
~~haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH,~~  
~~SO<sub>3</sub>H, lower alkenyl or lower alkyl;~~

where X is -N, or -O, with the proviso that when X is O, R<sub>B</sub> is absent;

and when X is N,

R<sub>B</sub> is:

[I] -C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC(=O)NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub>R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C(=O)NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C(=O)NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and S(=O)<sub>2</sub>NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents

selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and NR<sub>1-a</sub>R<sub>1-b</sub>; where ~~R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above.~~

~~(III) (CR<sub>B-x</sub>R<sub>B-y</sub>)<sub>0-4</sub>R<sub>B-aryl</sub> where R<sub>B-x</sub> and R<sub>B-y</sub> are~~

~~(A) H,~~

~~(B) C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two OH,~~

~~(C) C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two or three of F,~~

~~(D) (CH<sub>2</sub>)<sub>0-4</sub>C<sub>3</sub>-C<sub>7</sub> cycloalkyl,~~

~~(E) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,~~

~~(F) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds, or~~

~~(G) phenyl,~~

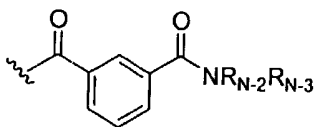
~~and where R<sub>B-x</sub> and R<sub>B-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of O, S, SO<sub>2</sub>, and NR<sub>N-2</sub> where R<sub>N-2</sub> is as defined above, and R<sub>B-aryl</sub> is the same as R<sub>N-aryl</sub> and is defined above~~

~~(IV) CH(R<sub>B-aryl</sub>)<sub>2</sub> where R<sub>B-aryl</sub> are the same or different and are as defined above,~~

~~(V) cyclopentyl, cyclohexyl, or cycloheptyl ring fused to R<sub>B</sub>-aryl or R<sub>B</sub>-heteroaryl or R<sub>B</sub>-heterocycle where R<sub>B</sub>-aryl or R<sub>B</sub>-heteroaryl or R<sub>B</sub>-heterocycle are as defined above where one carbon of cyclopentyl, cyclohexyl, or cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or cycloheptyl can be optionally substituted with one or two C<sub>1</sub>-C<sub>3</sub> alkyl, F, OH, SH, C≡N, CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, and NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,~~

~~(VI) or -H.~~

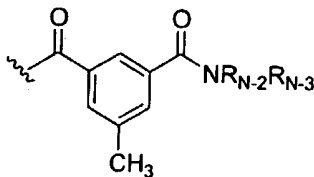
<sup>26</sup>  
~~149.~~ (New) A compound according to claim <sup>9</sup>~~11~~, wherein



R<sub>N</sub> is of the formula

<sup>27</sup>  
~~150.~~ (New) A compound according to claim <sup>26</sup>~~149~~, wherein R<sub>N-2</sub> and R<sub>N-3</sub> are both C<sub>3</sub> alkyl.

<sup>28</sup>  
~~151.~~ (New) A compound according to claim <sup>11</sup>~~13~~, wherein



R<sub>N</sub> is of the formula

<sup>29</sup>  
~~152.~~ (New) A compound according to claim <sup>28</sup>~~151~~, wherein

$R_{N-2}$  and  $R_{N-3}$  are both  $C_3$  alkyl.

<sup>30</sup>  
~~153~~. (New) A compound according to claim <sup>19</sup>~~28~~, wherein  
 $R_1$  is benzyl, wherein the phenyl portion is optionally  
substituted with 1 or 2 groups that are F, Cl,  $C_1$ - $C_4$  alkoxy,  
 $CF_3$ ,  $C_1$ - $C_4$  alkyl optionally substituted with one substituent  
selected from the group consisting of  $C_1$ - $C_3$  alkyl, -F, -Cl,  
-Br, -OH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1$ - $C_3$  alkoxy, and  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$   
and  $R_{1-b}$  -H or  $C_1$ - $C_4$  alkyl,

$R_2$  is -H;

$R_3$  is -H;

$R_N$  is  $R_{N-1}-X_N-$  where  $X_N$  is  $-CO-$ , and  $R_{N-1}$  is phenyl substituted  
with one, two or three of the following substituents  
which can be the same or different and are  $C_1$ - $C_4$  alkyl,  
-OH,  $-NO_2$ , -F, -Cl, -Br, or -I,  $-CO-OH$ ,  $-C\equiv N$ ,  $-(CH_2)_{0-4}-$   
 $CO-NR_{N-2}R_{N-3}$ , where

$R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected  
from the group consisting of H, and  $-C_1$ - $C_6$  alkyl  
optionally substituted with one substituent  
selected from -OH, and  $-NH_2$ ,  $-C_1$ - $C_6$  alkyl  
optionally substituted with one to three -F, -Cl,  
-Br, or -I,  $-C_3$ - $C_7$  cycloalkyl,  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7$   
cycloalkyl), and  $-(C_1-C_4 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ .

31  
~~154~~. (New) A compound according to claim ~~153~~, wherein  
 $R_A$  is  $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ , or  $-C=OR_7$ , where  
 $R_{A-aryl}$  is phenyl, 1-naphthyl, or 2-naphthyl, substituted  
 with one, two or three of the following substituents  
 which can be the same or different and are  $C_1-C_4$  alkyl  
 optionally substituted with one or two substituents  
 selected from the group consisting of  $C_1-C_3$  alkyl,  $-F$ ,  
 $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, and  
 $-NR_{1-a}R_{1-b}$ ,  $-OH$ ,  $-NO_2$ ,  $-F$ ,  $-Cl$ ,  $-Br$ , or  $-I$ ,  $-CO-OH$ ,  $-C\equiv N$ ,  
 $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ ,  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$ ,  $-(CH_2)_{0-4}-SO-$   
 $(C_1-C_6 \text{ alkyl})$ ,  $-(CH_2)_{0-4}-SO_2-(C_1-C_6 \text{ alkyl})$ ,  $-(CH_2)_{0-4}-SO_2-$   
 $(C_3-C_7 \text{ cycloalkyl})$ ,  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl})$  optionally  
 substituted with one, two, three, four, or five  $-F$ ,  
 $C_3-C_7$  cycloalkyl, or  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, where  
 $R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected  
 from the group consisting of  $H$ , and  $-C_1-C_6$  alkyl;  
 $R_7$  is  $C_1 - C_6$  alkyl;  
 $R_{A-x}$  and  $R_{A-y}$  are  $-H$ ,  $C_1-C_4$  alkyl, or phenyl.

32  
~~155~~. (New) A compound according to claim ~~154~~, wherein  
 $R_1$  is benzyl, wherein the phenyl portion is substituted with 1 or  
 2 groups that are  $F$ ,  $Cl$ ,  $C_1-C_4$  alkoxy,  $CF_3$ , or  $C_1-C_4$  alkyl;  
 $R_{A-aryl}$  is phenyl substituted with one or two of the following  
 substituents  $C_1-C_4$  alkyl, optionally substituted with

one or two substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -OH, -NO<sub>2</sub>, -F, -Cl, -Br, or -I, -CO-OH, -C≡N, -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub>, and -(CH<sub>2</sub>)<sub>0-4</sub>-O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, three, four, or five -F, where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of H, and -C<sub>1</sub>-C<sub>6</sub> alkyl.

<sup>33</sup>  
~~156~~. (New) A substituted amine according to claim <sup>32</sup>~~155~~ where R<sub>N</sub> is -C(O)-phenyl, wherein the phenyl is substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub>.

<sup>34</sup>  
~~157~~. (New) A substituted amine according to claim <sup>33</sup>~~156~~ where R<sub>N-2</sub> and R<sub>N-3</sub> are independently H or C<sub>1</sub>-C<sub>6</sub> alkyl.

<sup>35</sup>  
~~158~~. (New) A compound according to claim <sup>34</sup>~~157~~, wherein R<sub>N-2</sub> and R<sub>N-3</sub> are both C<sub>3</sub> alkyl.

<sup>36</sup>  
~~159~~. (New) A substituted amine according to claim <sup>32</sup>~~155~~ where R<sub>N</sub> is -C(O)-phenyl, wherein the phenyl is substituted with one methyl group and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub>.

<sup>37</sup>  
~~160~~. (New) A substituted amine according to claim <sup>36</sup>~~159~~ where R<sub>N-2</sub> and R<sub>N-3</sub> are independently H or C<sub>1</sub>-C<sub>6</sub> alkyl.

38

~~161~~. (New) A compound according to claim ~~160~~, wherein  $R_{N-2}$  and  $R_{N-3}$  are both  $C_3$  alkyl.

37

39

~~162~~. (New) A compound according to claim 4, wherein

$R_7$  is  $C_1 - C_6$  alkyl;

$R_1$  is benzyl, wherein the phenyl portion is substituted with 1 or 2 groups that are F, Cl,  $C_1-C_4$  alkoxy,  $CF_3$ , or  $C_1-C_4$  alkyl;

and

$R_N$  is  $R_{N-1}-X_N-$  where  $X_N$  is  $-CO-$ , and  $R_{N-1}$  is phenyl substituted with one, two or three of the following substituents which can be the same or different and are  $C_1-C_4$  alkyl,  $-OH$ ,  $-NO_2$ ,  $-F$ ,  $-Cl$ ,  $-Br$ , or  $-I$ ,  $-CO-OH$ ,  $-C\equiv N$ ,  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$ , where

$R_{N-2}$  and  $R_{N-3}$  are the same or different and are selected from the group consisting of H, and  $-C_1-C_6$  alkyl optionally substituted with one substituent selected from  $-OH$ , and  $-NH_2$ ,  $-C_1-C_6$  alkyl optionally substituted with one to three  $-F$ ,  $-Cl$ ,  $-Br$ , or  $-I$ ,  $-C_3-C_7$  cycloalkyl,  $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$ , and  $-(C_1-C_4 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$ .

40

39

~~163~~. (New) A compound according to claim ~~162~~, wherein



$R_N$  is  $-C(O)-\text{phenyl}$ , wherein the phenyl is substituted with one

$-\text{CO}-\text{NR}_{N-2}\text{R}_{N-3}$ .

41

40

~~164~~. (New) A substituted amine according to claim ~~163~~ where

$R_{N-2}$  and  $R_{N-3}$  are independently H or  $C_1-C_6$  alkyl.

42

41

~~165~~. (New) A compound according to claim ~~164~~, wherein  $R_{N-2}$

and  $R_{N-3}$  are both  $C_3$  alkyl.

43

39

~~166~~. (New) A substituted amine according to claim ~~162~~ where

$R_N$  is  $-C(O)-\text{phenyl}$ , wherein the phenyl is substituted with one methyl group and with one  $-\text{CO}-\text{NR}_{N-2}\text{R}_{N-3}$ .

44

43

~~167~~. (New) A substituted amine according to claim ~~166~~ where

$R_{N-2}$  and  $R_{N-3}$  are independently H or  $C_1-C_6$  alkyl.

45

44

~~168~~. (New) A compound according to claim ~~167~~, wherein  $R_{N-2}$

and  $R_{N-3}$  are both  $C_3$  alkyl.